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(71) Applicant (for all designated States except US): FLAMEL TECHNOLOGIES [FR/FR]; 33, avenue du Docteur Georges Lévy, F-69200 Vénissieux (FR).

(72) Inventors; and

(75) Inventors/Applicants (US only): GUIMBERTEAU, Florence [FR/FR]; 3, route de la Garenne, F-33450 Montussan (FR). CASTAN, Catherine [FR/FR]; Le Verger du Gontey, 55, chemin du May, F-69530 Orlénas (FR). MEYRUEIX, Rémi [FR/FR]; 42, rue Hector Berlioz, Le Bois Saint-Rambert, F-69009 Lyon (FR).

(74) Representatives: CABINET PLASSERAUD etc.; 65/67 rue de la Victoire, F-75440 Paris Cedex 9 (FR).

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(54) Title: ORAL PHARMACEUTICAL FORMULATION IN THE FORM OF A PLURALITY OF MICROCAPSULES FOR PROLONGED RELEASE OF ACTIVE PRINCIPLE(S) WITH LOW SOLUBILITY

(54) Titre: FORMULATION PHARMACEUTIQUE ORALE SOUS FORME D'UNE PLURALITE DE MICROCAPSULES PERMETTANT LA LIBERATION PROLONGEE DE PRINCIPE(S) ACTIF(S) PEU SOLUBLE(S)

(57) Abstract: The invention concerns microcapsules with prolonged release of active principles with low solubility, consisting of a core containing the active principle and coated with a polymer layer which controls the release of the active principle. The aim is that said oral microcapsules containing hardly soluble active principles, should have a coating film of sufficient thickness to ensure controlled permeability and should be adapted to industrial reproduction. This is achieved by the inventive microcapsules of mean diameter less than 1000 microns, and whereof the coating film contains a film-forming polymer (P1) insoluble in gastrointestinal tract fluids, a water-soluble polymer (P2), a plasticizer (PL), and optionally a lubricating surfactant (TA). Said microcapsules are characterized in that their coating films represents at least 3 % p/p of dry matter, relative to their total weight and their core contains a hardly soluble active principle and a solubilizing agent (polyoxyethylene hydrogenated castor oil) which provides the core wherein it is contained with properties such that the behaviour of the exposed core (non-coated) in a given dissolving test (TD), is as follows: release of 80 % of active principle in less than two hours. The invention also concerns the use of such microcapsules in galenic formulation.

(57) Abrégé: L'invention concerne des microcapsules à libération prolongée de principes actifs (PA) de faible solubilité, constituées d'un coeur contenant le PA et enrobé d'une couche de polymère qui contrôle la libération du PA. Le but est que ces microcapsules orales de PA peu soluble, aient une pellicule d'enrobage d'épaisseur suffisante pour assurer une perméabilité contrôlée et industriellement reproductible. Ce but est atteint par les microcapsules selon l'invention de diamètre moyen est inférieur à 1000 microns, et dont la pellicule d'enrobage contient un polymère filmogène (P1) insoluble dans les liquides du tractus gastro-intestinal; un polymère hydrosoluble (P2); un plastifiant (PL); et éventuellement un agent tensioactif (TA) lubrifiant; qui sont caractérisées en ce que leur pellicule d'enrobage représente au moins 3 % p/p sec, de leur masse totale, et leur coeur contient un PA peu soluble et un agent solubilisant (huile de ricin hydrogénée polyoxyéthylénée) qui confère au coeur dans lequel il est inclus des propriétés telles que le comportement du coeur nu (non enrobé) dans un test de dissolution TD donné, est le suivant: libération de 80 % du PA en moins de deux heures. L'invention concerne aussi les applications desdites microcapsules en galénique.

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